

WHAT IS CLAIMED IS:

1. A compound of formula (I)

$Xaa_1-Xaa_2-Xaa_3-Xaa_4-Xaa_5-Xaa_6-Xaa_7-Xaa_8-Xaa_9-Xaa_{10}-Xaa_{11}$ (I),

or a pharmaceutically acceptable salt thereof, wherein

10 Xaa_1 is absent or Xaa_1 is selected from the group consisting of hydrogen and an acyl group, wherein the acyl group is selected from the group consisting of $R^1-(CH_2)_n-C(O)-$, wherein n is an integer from 0 to 8 and R^1 is selected from the group consisting of N-acethylamino, alkoxy, alkyl, aryl, carboxy, cycloalkenyl, cycloalkyl, heterocycle, hydroxy; and $R^2-CH_2CH_2-O-(CH_2CH_2O)_p-CH_2-C(O)-$, wherein p is an integer from 1 to 8 and R^2 is selected from the group consisting of hydrogen, N-acethylamino, and alkyl;

15 Xaa_2 is an amino acyl residue selected from the group consisting of alanyl, β -alanyl, asparaginyl, citrullyl,

20 N-ethylglycyl, glutaminyl, glutamyl, methionyl,

25 N-methylalanyl, N-methylprolyl, prolyl, pyro-glutamyl, sarcosyl, seryl,

30 threonyl, $H_3C-C(O)-HN-(CH_2)_q-C(O)-$, wherein q is an integer from 1 to 8, and $H_3C-C(O)-HN-CH_2CH_2-O-(CH_2CH_2O)_r-CH_2-C(O)-$, wherein r is an integer from 1 to 8;

35 with the proviso that Xaa_1 is absent when Xaa_2 is N-methylprolyl, $H_3C-C(O)-HN-(CH_2)_q-C(O)-$, or $H_3C-C(O)-HN-CH_2CH_2-O-(CH_2CH_2O)_r-CH_2-C(O)-$;

Xaa₃ is an amino acyl residue selected from the group consisting of
40 alanyl,
 asparaginyl,
 aspartyl,
 glutaminyl,
 glutamyl,
 glycyl,
 leucyl,
45 methionyl,
 phenylalanyl,
 prolyl, and
 seryl;

50 Xaa₄ is an amino acyl residue selected from the group consisting of
 alloisoleucyl,
 allylglycyl,
 2-aminobutyryl,
 (1R,4S)-1-aminocyclopent-2-ene-4-carbonyl,
55 aspartyl,
 3-(5-bromothien-2-yl)alanyl,
 3-(3-chlorophenyl)alanyl,
 3-(4-chlorophenyl)alanyl,
 3-(3-cyanophenyl)alanyl,
60 cysteinyl(S-ethyl),
 cysteinyl(S-methyl),
 2,4-diaminobutanoyl,
 2,3-diaminopropionyl,
 3-(3,4-dimethoxyphenyl)alanyl,
65 3-(3-fluorophenyl)alanyl,
 3-(4-fluorophenyl)alanyl,
 histidyl,
 homophenylalanyl,
 homoseryl,
70 lysyl(N-epsilon-acetyl),
 methionyl(sulfone),
 methionyl(sulfoxide),

3-(4-methylphenyl)alanyl,
3-(naphth-1-yl)alanyl,
3-(naphth-2-yl)alanyl,
ornithyl,
phenylglycyl,
prolyl,
3-(3-pyridyl)alanyl,
80 seryl(benzyl),
styrylalanyl,
1,2,3,4-tetrahydroisoquinoline-3-carbonyl,
3-(thiazolyl)alanyl,
3-(thien-2-yl)alanyl,
85 D-3-(thien-2-yl)alanyl,
tryptyl,
tyrosyl, and
D-valyl;

90 Xaa₅ is an amino acyl residue selected from the group consisting of
D-alanyl,
alloisoleucyl,
D-alloisoleucyl,
D-allothreonyl,
95 D-allylglycyl,
D-2-aminobutyryl,
D-3-(4-aminophenyl)alanyl,
D-asparaginyl,
D-aspartyl,
100 D-3-(4,4'-biphenyl)alanyl,
D-*t*-butylglycyl,
D-3-(4-chlorophenyl)alanyl,
D-citrullyl,
D-3-(3-cyanophenyl)alanyl,
105 D-cyclohexylalanyl,
D-cyclohexylglycyl,
D-cysteinyl,
D-cysteinyl(S-*t*-butyl),

110	dehydroleucyl, D-3-(3,4-difluorophenyl)alanyl, D-3-(3,4-dimethoxyphenyl)alanyl, D-glutamyl, D-glutamyl, glycyl, D-histidyl, D-homoisoleucyl, D-homophenylalanyl, D-homoseryl, isoleucyl, D-isoleucyl, D-leucyl, D-lysyl, D-lysyl(N-epsilon-nicotinyl), D-methionyl, D-3-(4-methylphenyl)alanyl, D-3-(naphth-1-yl)alanyl, D-3-(naphth-2-yl)alanyl, D-neopentylglycyl, D-3-(4-nitrophenyl)alanyl, D-norleucyl, D-norvalyl, D-ornithyl, D-penicillamyl, D-penicillamyl(S-acetamidomethyl), D-penicillamyl(S-benzyl), D-penicillamyl(S-methyl), D-phenylalanyl, prolyl, D-prolyl, D-3-(3-pyridyl)alanyl, D-seryl, D-seryl(O-benzyl), D-3-(thien-2-yl)alanyl, D-threonyl,
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145 D-threonyl(O-benzyl),
 D-3-(3-trifluoromethylphenyl)alanyl,
 D-3-(3,4,5-trifluorophenyl)alanyl,
 D-tryptyl,
 D-tyrosyl(O-benzyl),
150 D-tyrosyl(O-ethyl),
 D-tyrosyl and
 D-valyl;

155 Xaa₆ is an amino acyl residue selected from the group consisting of
 alanyl,
 allothreonyl,
 D-allothreonyl,
 allylglycyl,
 asparaginyl,
160 cysteinyl,
 glutaminyl,
 glycyl,
 histidyl,
 homoseryl,
165 D-homoseryl,
 3-(4-hydroxymethylphenyl)alanyl,
 isoleucyl,
 lysyl(N-epsilon-acetyl),
 methionyl,
170 3-(naphth-1-yl)alanyl,
 3-(naphth-2-yl)alanyl,
 norvalyl,
 octylglycyl,
 ornithyl,
175 penicillaminyl,
 prolyl,
 3-(3-pyridyl)alanyl,
 seryl,
 D-seryl,
180 threonyl,

D-threonyl,
tryptyl, and
tyrosyl;

185 Xaa₇ is an amino acyl residue selected from the group consisting of
alanyl,
allylglycyl,
2-aminobutyryl,
arginyl,
asparaginyl,
aspartyl,
3-(4-carboxyamidophenyl)alanyl,
citrullyl,
cyclohexylalanyl,
cysteinyl,
glutaminyl,
D-glutaminyl,
glutamyl,
glycyl,
200 histidyl,
homoalanyl,
homoleucyl,
homoseryl,
D-homoseryl,
205 isoleucyl,
leucyl,
D-leucyl,
lysyl(N-epsilon-acetyl),
lysyl(N-epsilon-isopropyl),
210 methionyl(sulfone),
methionyl(sulfoxide),
methionyl,
3-(naphth-1-yl)alanyl,
D-3-(naphth-1-yl)alanyl,
215 3-(naphth-2-yl)alanyl,
D-3-(naphth-2-yl)alanyl,

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	norleucyl, norvalyl, D-norvalyl, octylglycyl, penicillaminy1, phenylalanyl, propargylglycyl, 3-(3-pyridyl)alanyl, seryl, D-seryl, threonyl, tryptyl, tyrosyl, and valyl;
220	
225	
230	
	Xaa ₈ is an amino acyl resid
	alanyl, alloisoleucyl, D-alloisoleucyl, allylglycyl, aspartyl, <i>t</i> -butylglycyl, citrullyl, cyclohexylglycyl, cysteinyl, glutamyl, glycyl, homoseryl, isoleucyl, D-isoleucyl, leucyl, lysyl(N-epsilon-acetyl), methionyl, 3-(naphth-1-yl)alanyl, 3-(naphth-2-yl)alanyl, norvalyl,
235	
240	
245	
250	

Xaa₈ is an amino acyl residue selected from the group consisting of

alanyl,

alloisoleucyl,

D-alloisoleucyl,

allylglycyl,

aspartylyl,

t-butylgl

citrullyl,

cyclohex

cysteinyl,

glutamyl,

glycyl,

homoseryl,

isoleucyl,

D-isoleuc

leucyl,

lysyl(N-epsilon)

methic

3-(nap

3-(naphth-2-yl)alanyl,

norvalyl,

290 hydroxyprolyl,
isoleucyl,
leucyl,
phenylalanyl,
prolyl,
D-prolyl,
295 seryl,
1,2,3,4-tetrahydroisoquinoline-3-carbonyl,
threonyl, and
valyl;

300 Xaa₁₁ is a hydroxy group or an amino acid amide selected from the group
consisting of
D-alanyl amide,
D-alanylethyl amide,
azaglycyl amide,
305 glycyl amide,
glycylethyl amide,
sarcosyl amide,
seryl amide,
D-seryl amide,
310 a residue represented by the formula
$$-NH-(CH_2)_s-CHR^4, \text{ and}$$

a group represented by the formula -NH-R⁵; wherein
s is an integer selected from 0 to 8;
R³ is selected from the group consisting of hydrogen, alkyl, and a 5- to 6-
315 membered cycloalkyl ring;
R⁴ is selected from the group consisting of hydrogen, alkoxy, alkyl, aryl,
cycloalkenyl, cycloalkyl, heterocycle, and hydroxy;
provided that s is not zero when R⁴ is hydroxy or alkoxy; and
R⁵ is selected from hydrogen, hydroxy, and cycloalkyl.

320 2. A compound according to Claim 1, wherein Xaa₁ is absent or is selected from the
group consisting of
hydrogen,

5 acetyl,
N-acetyl- β -alanyl,
(4-N-acetylamino)butyryl,
(6-N-acetylamino)caproyl,
(8-N-acetylamino)-3,6-dioxo-octanoyl,
butyryl,
caproyl,
5-chloro-2-hydroxynicotinyl,
5-chloro-6-hydroxynicotinyl,
2-chloroisonicotinyl,
2-chloro-6-methylnicotinyl,
15 cyclohexylacetyl,
furoyl,
2-hydroxy-6-methylnicotinyl,
6-hydroxynicotinyl,
6-hydroxy-2-picolinyl,
20 isonicotinyl,
2-methoxyacetyl,
2-methylnicotinyl,
6-methylnicotinyl,
(4-methyl)phenylacetyl,
25 nicotinyl,
phenylacetyl,
propionyl,
shikimyl,
succinyl, and
tetrahydrofuroyl.

3. A compound according to Claim 2 wherein Xaa_1 is selected from the group consisting of

acetyl, and
6-methylnicotinyl.

4. A compound according to Claim 1 wherein Xaa_2 is selected from the group

g of

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5 β -alanyl,
asparaginyl,
citrullyl,
N-ethylglycyl,
glutaminyl,
glutamyl,
10 methionyl,
N-methylalanyl,
N-methylprolyl,
prolyl,
pyro-glutamyl,
15 sarcosyl,
seryl,
threonyl,
 $H_3C-C(O)-HN-(CH_2)_q-C(O)-$, wherein q is an integer from 1 to 8, and
 $H_3C-C(O)-HN-CH_2CH_2-O-(CH_2CH_2O)_r-CH_2-C(O)-$, wherein r is an integer
20 from 1 to 8.

5. A compound according to Claim 4, wherein Xaa₂ is sarcosyl.
6. The compound according to Claim 1 wherein Xaa₃ is selected from the group consisting of

5 alanyl,
asparaginyl,
aspartyl,
glutaminyl,
glutamyl,
glycyl,
leucyl,
10 methionyl,
phenylalanyl,
prolyl, and
seryl.

7. A compound according to Claim 6 wherein Xaa₃ is glycyl.

8. A compound according to Claim 1 wherein Xaa₄ is selected from the group consisting of

alloisoleucyl,
allylglycyl,
2-aminobutyryl,
(1R,4S)-1-aminocyclopent-2-ene-4-carbonyl
aspartyl,
3-(5-bromothien-2-yl)alanyl,
3-(3-chlorophenyl)alanyl,
3-(4-chlorophenyl)alanyl,
3-(3-cyanophenyl)alanyl,
cysteinyl(S-ethyl),
cysteinyl(S-methyl),
2,4-diaminobutanoyl,
2,3-diaminopropionyl,
3-(3,4-dimethoxyphenyl)alanyl,
3-(3-fluorophenyl)alanyl,
3-(4-fluorophenyl)alanyl,
histidyl,
homophenylalanyl,
homoseryl,
lysyl(N-epsilon-acetyl),
methionyl(sulfone),
methionyl(sulfoxide),
3-(4-methylphenyl)alanyl,
3-(naphth-1-yl)alanyl,
3-(naphth-2-yl)alanyl,
ornithyl,
phenylglycyl,
prolyl,
3-(3-pyridyl)alanyl,
seryl(O-benzyl),
styrylalanyl,
1,2,3,4-tetrahydroisoquinoline-3-carbonyl,
3-(thiazolyl)alanyl,
3-(thien-2-yl)alanyl,

D-3-(thien-2-yl)alanyl,
tryptyl,
tyrosyl, and
D-valyl.

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9. A compound according to Claim 8 wherein Xaa₄ is selected from the group consisting of

alloisoleucyl,
allylglycyl,
2-aminobutyryl,
(1R,4S)-1-aminocyclopent-2-ene-4-carbonyl,
3-(5-bromothien-2-yl)alanyl,
3-(3-chlorophenyl)alanyl,
3-(4-chlorophenyl)alanyl,
3-(3-cyanophenyl)alanyl,
cysteinyl(S-ethyl),
cysteinyl(S-methyl),
2,4-diaminobutanoyl,
2,3-diaminopropionyl,
3-(3,4-dimethoxyphenyl)alanyl,
3-(3-fluorophenyl)alanyl,
3-(4-fluorophenyl)alanyl,
histidyl,
homophenylalanyl,
homoseryl,
lysyl(N-epsilon-acetyl),
methionyl(sulfone),
methionyl(sulfoxide),
3-(4-methylphenyl)alanyl,
3-(naphth-1-yl)alanyl,
3-(naphth-2-yl)alanyl,
ornithyl,
phenylglycyl,
prolyl,
3-(3-pyridyl)alanyl,
seryl(O-benzyl),

styrylalanyl,
1,2,3,4-tetrahydroisoquinoline-3-carbonyl,
3-(thiazolyl)alanyl,
35 3-(thien-2-yl)alanyl,
D-3-(thien-2-yl)alanyl,
tryptyl,
tyrosyl, and
D-valyl.

40 10. A compound according to Claim 1, wherein Xaa₅ is selected from the group consisting of

D-alanyl,
alloisoleucyl,
5 D-alloisoleucyl,
D-allothreonyl,
D-allylglycyl,
D-2-aminobutyryl,
D-3-(4-aminophenyl)alanyl,
10 D-asparaginyl,
D-aspartyl,
D-3-(4,4'-biphenyl)alanyl,
D-t-butylglycyl,
D-3-(4-chlorophenyl)alanyl,
15 D-citrullyl,
D-3-(3-cyanophenyl)alanyl,
D-cyclohexylalanyl,
D-cyclohexylglycyl,
D-cysteinyl,
20 D-cysteinyl(S-t-butyl),
dehydroleucyl,
D-3-(3,4-difluorophenyl)alanyl,
D-3-(3,4-dimethoxyphenyl)alanyl,
D-glutamyl,
25 D-glutamyl,
glycyl,
D-histidyl,

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30 D-homoisoleucyl,
 D-homophenylalanyl,
 D-homoseryl,
 isoleucyl,
 D-isoleucyl,
 D-leucyl,
 D-lysyl,
35 D-lysyl(N-epsilon-nicotinyl),
 D-methionyl,
 D-3-(4-methylphenyl)alanyl,
 D-3-(naphth-1-yl)alanyl,
 D-3-(naphth-2-yl)alanyl,
40 D-neopentylglycyl,
 D-3-(4-nitrophenyl)alanyl,
 D-norleucyl,
 D-norvalyl,
 D-ornithyl,
45 D-penicillaminyl,
 D-penicillaminyl(S-acetamidomethyl),
 D-penicillaminyl(S-benzyl),
 D-penicillaminyl(S-methyl),
 D-phenylalanyl,
50 prolyl,
 D-prolyl,
 D-3-(3-pyridyl)alanyl,
 D-seryl,
 D-seryl(O-benzyl),
55 D-3-(thien-2-yl)alanyl,
 D-threonyl,
 D-threonyl(O-benzyl),
 D-3-(3-trifluoromethylphenyl)alanyl,
 D-3-(3,4,5-trifluorophenyl)alanyl,
60 D-tryptyl,
 D-tyrosyl(O-benzyl),
 D-tyrosyl(O-ethyl),
 D-tyrosyl, and

D-valyl.

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11. A compound according to Claim 10 wherein Xaa₅ is selected from the group consisting of

isoleucyl,
D-isoleucyl, and
5 D-leucyl.

12. A compound according to Claim 1 wherein Xaa₆ is selected from the group consisting of

alanyl,
allothreonyl,
5 D-allothreonyl,
allylglycyl,
asparaginyl,
cysteinyl,
glutaminyl,
10 glycyl,
histidyl,
homoseryl,
D-homoseryl,
3-(4-hydroxymethylphenyl)alanyl,
15 isoleucyl,
lysyl(N-epsilon-acetyl),
methionyl,
3-(naphth-1-yl)alanyl,
3-(naphth-2-yl)alanyl,
20 norvalyl,
octylglycyl,
ornithyl,
penicillaminyl,
prolyl,
25 3-(3-pyridyl)alanyl,
seryl,
D-seryl,
threonyl,

30 D-threonyl,
 tryptyl, and
 tyrosyl.

13. A compound according to Claim 12 wherein Xaa₆ is selected from the group
consisting of

 seryl, and
 threonyl.

5 14. A compound according to Claim 1 wherein Xaa₇ is selected from the group
consisting of

 5 alanyl,
 allylglycyl,
 2-aminobutyryl,
 arginyl,
 asparaginyl,
 aspartyl,
 3-(4-carboxyamidophenyl)alanyl,
 10 citrullyl,
 cyclohexylalanyl,
 cysteinyl,
 glutaminyl,
 D-glutaminyl,
 15 glutamyl,
 glycyl,
 histidyl,
 homoalanyl,
 homoleucyl,
 20 homoseryl,
 D-homoseryl,
 isoleucyl,
 leucyl,
 D-leucyl,
 25 lysyl(N-epsilon-acetyl),
 lysyl(N-epsilon-isopropyl),
 methionyl(sulfone),

methionyl(sulfoxide),
methionyl,
30 3-(naphth-1-yl)alanyl,
D-3-(naphth-1-yl)alanyl,
3-(naphth-2-yl)alanyl,
D-3-(naphth-2-yl)alanyl,
norleucyl,
35 norvalyl,
D-norvalyl,
octylglycyl,
penicillaminyl,
phenylalanyl,
40 propargylglycyl,
3-(3-pyridyl)alanyl,
seryl,
D-seryl,
threonyl,
45 tryptyl,
tyrosyl, and
valyl.

15. A compound according to Claim 14 wherein Xaa₇ is selected from the group consisting of

5 glutaminyl,
norvalyl, and
seryl.

16. A compound according to Claim 1 wherein Xaa₈ is selected from the group consisting of

5 alanyl,
alloisoleucyl,
D-alloisoleucyl,
allylglycyl,
aspartyl,
t-butylglycyl,
citrullyl,

10 cyclohexylglycyl,
cysteinyl,
glutamyl,
glycyl,
homoseryl,
15 isoleucyl,
D-isoleucyl,
leucyl,
lysyl(N-epsilon-acetyl),
methionyl,
20 3-(naphth-1-yl)alanyl,
3-(naphth-2-yl)alanyl,
norvalyl,
penicillaminy1,
phenylalanyl,
25 prolyl,
seryl,
tryptyl,
tyrosyl, and
valyl.

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17. A compound according to Claim 16 wherein Xaa₈ is isoleucyl.

18. A compound according to Claim 1 wherein Xaa₉ is selected from the group consisting of

5 [(4-amino(N-isopropyl)methyl)phenyl]alanyl,
3-(4-amino-N-isopropylphenyl)alanyl,
arginyl,
arginyl(N^GN^Gdiethyl),
citrullyl,
10 3-(cyclohexyl)alanyl(4-N-isopropyl),
glycyl[4-piperidinyl(N-amidino)],
(3-guanidino)alanyl,
3-(4-guanidinophenyl)alanyl,
histidyl,
homoarginyl,

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lysyl,
15 lysyl(N-epsilon-isopropyl),
lysyl(N-epsilon-nicotinyl),
norarginyl,
ornithyl(N-delta-isopropyl),
ornithyl(N-delta-nicotinyl),
20 ornithyl[N-delta-(2-imidazolinyl)],
[4-piperidinyl(N-amidino)]alanyl, and
[3-pyrrolidinyl(2-N-amidino)]alanyl.

19. A compound according to Claim 18 wherein Xaa₉ is arginyl.

20. A compound according to Claim 1 wherein Xaa₁₀ is selected from the group consisting of

D-alanyl,
2-aminobutyryl,
5 2-aminoisobutyryl,
t-butylglycyl,
homoprolyl,
hydroxyprolyl,
isoleucyl,
10 leucyl,
phenylalanyl,
prolyl,
D-prolyl,
seryl,
15 1,2,3,4-tetrahydroisoquinoline-3-carbonyl,
threonyl, and
valyl.

21. A compound according to Claim 20 wherein Xaa₁₀ is prolyl.

22. A compound according to Claim 1 wherein Xaa₁₁ is selected from the group consisting of

D-alanyl amide,
D-alanyl ethyl amide,

5 azaglycylamide,
NH-cyclobutyl,
NH-cycloheptyl,
NH-1-(cyclohexyl)ethyl,
NH-2-(cyclohexyl)ethyl,
10 NH-2-(ethoxy)ethyl,
NH-ethyl,
glycylamide,
glycylethylamide,
NH-hexyl,
15 NH-2-(hydroxy)ethyl,
NH-isoamyl,
NH-isobutyl,
NH-2-(isopropoxy)ethyl,
NH-isopropyl,
20 NH-2-(methoxy)ethyl,
NH-3-(methoxy)propyl,
NH-propyl,
NH-2-(1-pyrrolidine)ethyl,
sarcosylamide,
25 serylamide, and
D-serylamide.

23. A compound according to Claim 22 wherein Xaa_{11} is selected from the group consisting of

D-alanylamine, and
NH-ethyl.

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24. A compound according to Claim 1 wherein

Xaa_1 is selected from the group consisting of
acetyl, and
5 6-methylnicotinyl;

Xaa_2 is sarcosyl;

Xaa₃ is glycyl;

10

Xaa₄ is selected from the group consisting of

alloisoleucyl,

allylglycyl,

2-aminobutyryl,

15

(1R,4S)-1-aminocyclopent-2-ene-4-carbonyl,

3-(5-bromothien-2-yl)alanyl,

3-(3-chlorophenyl)alanyl,

3-(4-chlorophenyl)alanyl,

3-(3-cyanophenyl)alanyl,

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cysteinyl(S-ethyl),

cysteinyl(S-methyl),

2,3-diaminopropionyl,

2,4-diaminobutanoyl,

3-(3,4-dimethoxyphenyl)alanyl,

25

3-(3-fluorophenyl)alanyl,

3-(4-fluorophenyl)alanyl,

histidyl,

homophenylalanyl,

homoseryl,

30

lysyl(N-epsilon-acetyl),

methionyl(sulfone),

methionyl(sulfoxide),

3-(4-methylphenyl)alanyl,

3-(naphth-1-yl)alanyl,

35

3-(naphth-2-yl)alanyl,

ornithyl,

phenylglycyl,

proyl,

3-(3-pyridyl)alanyl,

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seryl(O-benzyl),

styrylalanyl,

1,2,3,4-tetrahydroisoquinoline-3-carbonyl,

3-(thiazolyl)alanyl,

3-(thien-2-yl)alanyl,

45 D-3-(thien-2-yl)alanyl,
tryptyl,
tyrosyl, and
D-valyl,

50 Xaa₅ is selected from the group consisting of
isoleucyl,
D-isoleucyl, and
D-leucyl;

55 Xaa₆ is selected from the group consisting of
seryl, and
threonyl;

60 Xaa₇ is selected from the group consisting of
glutamyl,
norvalyl, and
seryl;

Xaa₈ is isoleucyl;

65 Xaa₉ is arginyl;

Xaa₁₀ is prolyl; and

70 Xaa₁₁ is selected from the group consisting of
D-alanyl amide, and
NH-ethyl.

25. A pharmaceutical composition comprising a compound of Claim 1 and a pharmaceutically acceptable carrier.

26. A method of treating a patient in need of anti-angiogenesis therapy comprising administering to the patient in need a therapeutically effective amount of a compound of Claim 1.

27. A composition for the treatment of a disease selected from cancer, arthritis, psoriasis, angiogenesis of the eye associated with infection or surgical intervention, macular degeneration and diabetic retinopathy comprising a compound of Claim 1 in combination with a pharmaceutically acceptable carrier.

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28. A method of isolating a receptor from an endothelial cell comprising binding a compound of Claim 1 to the receptor to form a peptide receptor complex; isolating the peptide receptor complex; and purifying the receptor.

29. A compound, or a pharmaceutically acceptable salt thereof, selected from the group consisting of

N-Ac-Sar-Gly-5-BrThiAla-D-Leu-Thr-Nva-Ile-Arg-ProNH-ethyl,

N-Ac-Sar-Gly-2-Nal-D-Leu-Thr-Nva-Ile-Arg-ProNH-ethyl,

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N-Ac-Sar-Gly-Orn-D-Leu-Thr-Nva-Ile-Arg-ProNH-ethyl,

N-Ac-Sar-Gly-4-ClPheAla-D-Leu-Thr-Nva-Ile-Arg-ProNH-ethyl,

N-Ac-Sar-Gly-HPheAla-D-Leu-Thr-Nva-Ile-Arg-ProNH-ethyl,

N-Ac-Sar-Gly-Cys(Me)-D-Leu-Thr-Nva-Ile-Arg-ProNH-ethyl,

N-Ac-Sar-Gly-Cys(Et)-D-Leu-Thr-Nva-Ile-Arg-ProNH-ethyl, and

10

N-Ac-Sar-Gly-Tyr-D-Ile-Thr-Nva-Ile-Arg-ProNH-ethyl.

30. A compound, or a therapeutically acceptable salt thereof, selected from the group consisting of

N-Ac-Sar-Gly-Lys(Ac)-D-Leu-Thr-Nva-Ile-Arg-ProNH-ethyl,

N-Ac-Sar-Gly-Pro-D-Leu-Thr-Nva-Ile-Arg-ProNH-ethyl,

5

N-Ac-Sar-Gly-3-CNPheAla-D-Leu-Thr-Nva-Ile-Arg-ProNH-ethyl,

N-Ac-Sar-Gly-Cys(Et)-D-Leu-Thr-Nva-Ile-Arg-ProNH-ethyl,

N-Ac-Sar-Gly-4-ThzAla-D-Leu-Thr-Nva-Ile-Arg-ProNH-ethyl,

N-Ac-Sar-Gly-(1R,4S)-AmCyeCO-D-Leu-Thr-Nva-Ile-Arg-ProNH-ethyl,

N-Ac-Sar-Gly-3,4-diOMePheAla-D-Leu-Thr-Nva-Ile-Arg-ProNH-ethyl,

10

N-Ac-Sar-Gly-4-MePheAla-D-Leu-Thr-Nva-Ile-Arg-ProNH-ethyl,

N-Ac-Sar-Gly-3-ClPheAla-D-Leu-Thr-Nva-Ile-Arg-ProNH-ethyl,

N-Ac-Sar-Gly-2-ThiAla-D-Leu-Thr-Nva-Ile-Arg-ProNH-ethyl,

N-Ac-Sar-Gly-PheGly-D-Leu-Thr-Nva-Ile-Arg-ProNH-ethyl,

N-Ac-Sar-Gly-2,4-Diabu-D-Leu-Thr-Nva-Ile-Arg-ProNH-ethyl,

15

N-Ac-Sar-Gly-Met(O₂)-D-Leu-Thr-Nva-Ile-Arg-ProNH-ethyl,

N-Ac-Sar-Gly-1-Nal-D-Leu-Thr-Nva-Ile-Arg-ProNH-ethyl,

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N-Ac-Sar-Gly-2-Abu-D-Leu-Thr-Nva-Ile-Arg-ProNH-ethyl,
N-Ac-Sar-Gly-Met(O)-D-Leu-Thr-Nva-Ile-Arg-ProNH-ethyl,
N-Ac-Sar-Gly-His-D-Leu-Thr-Nva-Ile-Arg-ProNH-ethyl,
20 N-Ac-Sar-Gly-Trp-D-Leu-Thr-Nva-Ile-Arg-ProNH-ethyl,
N-Ac-Sar-Gly-Tic-D-Leu-Thr-Nva-Ile-Arg-ProNH-ethyl,
N-Ac-Sar-Gly-StyAla-D-Leu-Thr-Nva-Ile-Arg-ProNH-ethyl,
N-Ac-Sar-Gly-AllylGly-D-Leu-Thr-Nva-Ile-Arg-ProNH-ethyl,
N-Ac-Sar-Gly-4-FPheAla-D-Leu-Thr-Nva-Ile-Arg-ProNH-ethyl,
25 N-Ac-Sar-Gly-2,3-Diapr-D-Leu-Thr-Nva-Ile-Arg-ProNH-ethyl,
N-Ac-Sar-Gly-Met(O₂)-D-Ile-Thr-Nva-Ile-Arg-ProNH-ethyl
N-Ac-Sar-Gly-3-PyrAla-D-Ile-Thr-Nva-Ile-Arg-ProNH-ethyl,
N-Ac-Sar-Gly-4-ClPheAla-D-Ile-Thr-Nva-Ile-Arg-ProNH-ethyl,
N-Ac-Sar-Gly-1-Nal-D-Ile-Thr-Nva-Ile-Arg-ProNH-ethyl
30 N-Ac-Sar-Gly-2-Nal-D-Ile-Thr-Nva-Ile-Arg-ProNH-ethyl,
N-Ac-Sar-Gly-3-FPheAla-D-Ile-Thr-Nva-Ile-Arg-ProNH-ethyl,
N-Ac-Sar-Gly-HPheAla-D-Ile-Thr-Nva-Ile-Arg-ProNH-ethyl,
N-Ac-Sar-Gly-4-FPheAla-D-Ile-Thr-Nva-Ile-Arg-ProNH-ethyl,
N-Ac-Sar-Gly-alloIle-D-Ile-Thr-Nva-Ile-Arg-ProNH-ethyl,
35 N-Ac-Sar-Gly-Ser(Bzl)-D-Leu-Thr-Nva-Ile-Arg-ProNH-ethyl,
N-Ac-Sar-Gly-HSer-D-Ile-Thr-Nva-Ile-Arg-ProNH-ethyl,
N-Ac-Sar-Gly-(1R,4S)-AmCyeCO-D-Leu-Ser-Ser-Ile-Arg-ProNH-ethyl,
N-6MeNic-Sar-Gly-(1R,4S)-AmCyeCO-D-Leu-Thr-Nva-Ile-Arg-ProNH-ethyl,
N-Ac-Sar-Gly-2-ThiAla-D-Leu-Thr-Nva-Ile-Arg-ProNH-ethyl,
40 N-Ac-Sar-Gly-3-CNPhe-D-Leu-Thr-Nva-Ile-Arg-Pro-D-AlaNH₂,
N-Ac-Sar-Gly-D-Val-D-Ile-Thr-Nva-Ile-Arg-ProNH-ethyl,
N-Ac-Sar-Gly-D-2-ThiAla-D-Leu-Thr-Nva-Ile-Arg-Pro-D-AlaNH₂,
N-Ac-Sar-Gly-(1R,4S)-AmCyeCO-D-Leu-Thr-Gln-Ile-Arg-ProNH-ethyl, and
N-Ac-Sar-Gly-D-Val-Ile-Thr-Nva-Ile-Arg-ProNH-ethyl.